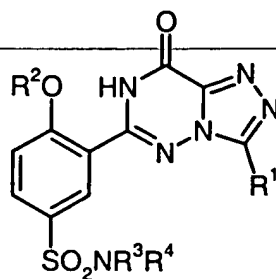


Patent claims

1. A novel triazolotriazinone of the general formula (I)



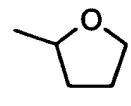
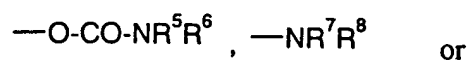
(I),

in which

R¹ represents straight-chain or branched alkyl having up to 6 carbon atoms or represents (C₃-C₈)-cycloalkyl,

R² represents hydrogen or represents straight-chain or branched alkyl having up to 6 carbon atoms,

R³ and R⁴ are identical or different and represent hydrogen or (C₁-C₆)-alkoxy or represent (C₁-C₆)-alkyl which is optionally substituted, up to 3 times, identically or differently, by hydroxyl, (C₁-C₅)-alkoxy or phenoxy or by radicals of the formulae



in which

$R^5$ ,  $R^6$ ,  $R^7$  and  $R^8$  are identical or different and denote hydrogen, (C<sub>1</sub>-C<sub>6</sub>)-alkyl or phenyl,

or

5

~~$R^7$  and  $R^8$ , together with the nitrogen atom to which they are bonded,~~  
form a 5- to 6-membered, saturated heterocycle which can additionally contain a further heteroatom from the series S and O,

10

and/or (C<sub>1</sub>-C<sub>6</sub>)-alkyl is, for its part, optionally substituted by phenyl which is optionally substituted, up to 3 times, identically or differently, by hydroxyl, (C<sub>1</sub>-C<sub>6</sub>)-alkoxy or halogen or by (C<sub>1</sub>-C<sub>6</sub>)-alkyl which, for its part, is in turn substituted by hydroxyl or (C<sub>1</sub>-C<sub>6</sub>)-alkoxy, or phenyl is optionally substituted by radicals of the formulae  
15 -SO<sub>2</sub>-NR<sup>9</sup>R<sup>10</sup> or -NR<sup>11</sup>R<sup>12</sup>,

in which

20

$R^9$ ,  $R^{10}$ ,  $R^{11}$  and  $R^{12}$  are identical or different and denote hydrogen, (C<sub>1</sub>-C<sub>6</sub>)-alkyl or phenyl,

or

25

$R^{11}$  and  $R^{12}$ , together with the nitrogen atom to which they are bonded, form a 5- to 6-membered, saturated heterocycle which can additionally contain a further heteroatom from the series S and O,

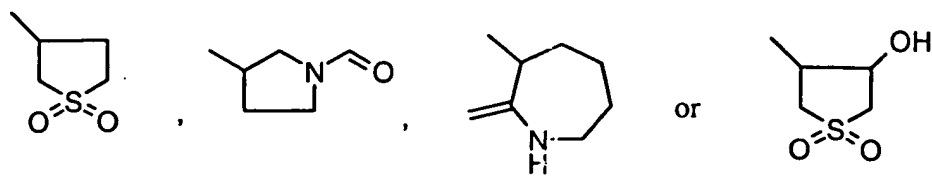
30

or

$R^3$  represents hydrogen or  $(C_1-C_6)$ -alkyl,

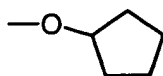
and

5  $R^4$  represents radicals of the formula



or

10 represents phenyl which is optionally substituted, up to 3 times, identically or differently, by halogen,  $(C_1-C_6)$ -alkoxy or hydroxyl or by a radical of the formula



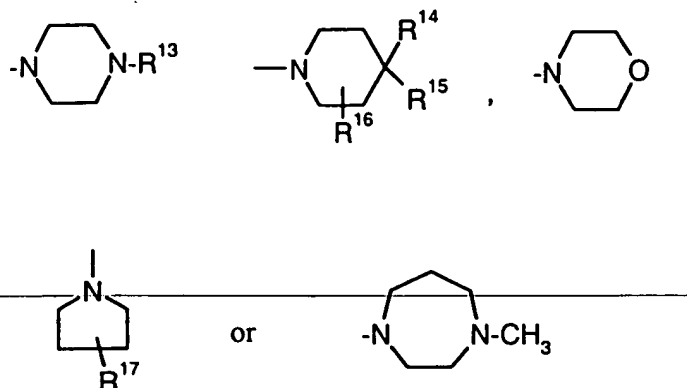
15

or by  $(C_1-C_6)$ -alkyl which, for its part, can be substituted by hydroxyl or  $(C_1-C_6)$ -alkoxy,

or

20

$R^3$  and  $R^4$ , together with the nitrogen atom to which they are bonded, form a radical of the formula



in which

5 R<sup>13</sup> denotes hydrogen, (C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl, (C<sub>3</sub>-C<sub>6</sub>)-cycloalkyl, pyridyl, pyrimidyl or (C<sub>1</sub>-C<sub>6</sub>)-alkyl which is optionally substituted by hydroxyl,

10 R<sup>14</sup> and R<sup>15</sup> are identical or different and denote hydrogen, hydroxyl or (C<sub>1</sub>-C<sub>6</sub>)-alkyl which is optionally substituted by hydroxyl or by a radical of the formula -P(O)(OR<sup>18</sup>)(OR<sup>19</sup>),

in which

15 R<sup>18</sup> and R<sup>19</sup> are identical or different and denote hydrogen or (C<sub>1</sub>-C<sub>6</sub>)-alkyl,

or

20 R<sup>14</sup> and R<sup>15</sup> together form a radical of the formula =N-OH,

R<sup>16</sup> and R<sup>17</sup> are identical or different and denote hydrogen or (C<sub>1</sub>-C<sub>6</sub>)-alkyl which is optionally substituted by hydroxyl,

and the salts, N-oxides and isomeric forms thereof.

2. A novel triazolotriazinone of the general formula (I) as claimed in claim 1,

in which

5

$R^1$  represents straight-chain or branched alkyl having up to 5 carbon atoms, or represents cyclopropyl, cyclopentyl or cyclohexyl,

10

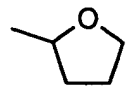
$R^2$  represents straight-chain or branched alkyl having up to 4 carbon atoms,

15

$R^3$  and  $R^4$  are identical or different and represent hydrogen or methoxy or represent (C<sub>1</sub>-C<sub>5</sub>)-alkyl which is optionally substituted, up to 3 times, identically or differently, by hydroxyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy or phenoxy or by groups of the formulae



or



in which

20

$R^5$ ,  $R^6$ ,  $R^7$  and  $R^8$  are identical or different and denote hydrogen, (C<sub>1</sub>-C<sub>4</sub>)-alkyl or phenyl,

or

25

$R^7$  and  $R^8$ , together with the nitrogen atom to which they are bonded, form a morpholine, piperidine or pyrrolidine ring,

30

and/or (C<sub>1</sub>-C<sub>5</sub>)-alkyl is, for its part, optionally substituted by phenyl which can be optionally substituted, up to 3 times, identically or differently, by hydroxyl or (C<sub>1</sub>-C<sub>4</sub>)-alkoxy or by (C<sub>1</sub>-C<sub>4</sub>)-alkyl which,

for its part, is in turn substituted by hydroxyl or (C<sub>1</sub>-C<sub>4</sub>)-alkoxy, or phenyl is optionally substituted by radicals of the formulae -SO<sub>2</sub>-NR<sup>9</sup>R<sup>10</sup> or -NR<sup>11</sup>R<sup>12</sup>,

5 in which

R<sup>9</sup>, R<sup>10</sup>, R<sup>11</sup> and R<sup>12</sup> are identical or different and denote hydrogen, (C<sub>1</sub>-C<sub>4</sub>)-alkyl or phenyl,

10 or

R<sup>11</sup> and R<sup>12</sup>, together with the nitrogen atom to which they are bonded, form a morpholine, piperidine or pyrrolidine ring,

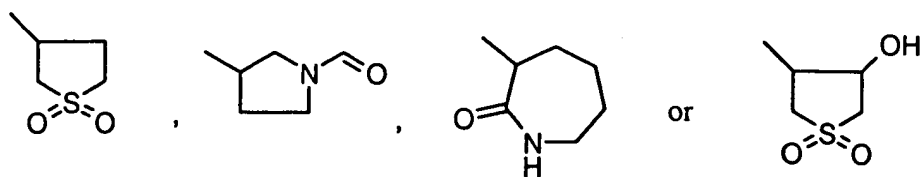
15 or

R<sup>3</sup> represents hydrogen or (C<sub>1</sub>-C<sub>4</sub>)-alkyl,

and

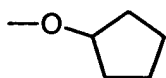
20

R<sup>4</sup> represents radicals of the formula



25 or

represents phenyl which is optionally substituted, up to 3 times, identically or differently, by fluorine, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy or hydroxyl, by a radical of the formula



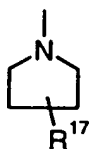
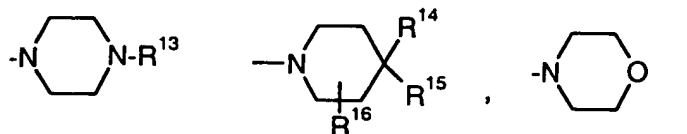
5

or by (C<sub>1</sub>-C<sub>4</sub>)-alkyl which can, for its part, be substituted by hydroxyl or (C<sub>1</sub>-C<sub>3</sub>)-alkoxy,

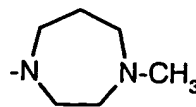
10

or

R<sup>3</sup> and R<sup>4</sup>, together with the nitrogen atom to which they are bonded, form a radical of the formula



or



15

in which

R<sup>13</sup> denotes hydrogen, (C<sub>1</sub>-C<sub>4</sub>)-alkoxycarbonyl, cyclopentyl, cyclohexyl, pyridyl, pyrimidyl or (C<sub>1</sub>-C<sub>5</sub>)-alkyl which is optionally substituted by hydroxyl,

20

$R^{14}$  and  $R^{15}$  are identical or different and denote hydrogen or (C<sub>1</sub>-C<sub>5</sub>)-alkyl which is optionally substituted by hydroxyl or by a radical of the formula  $-P(O)(OR^{18})(OR^{19})$ ,

5 in which

$R^{18}$  and  $R^{19}$  are identical or different and denote hydrogen, methyl or ethyl,

10 or

$R^{14}$  and  $R^{15}$  together form a radical of the formula  $=N-OH$ ,

15  $R^{16}$  and  $R^{17}$  are identical or different and denote hydrogen, hydroxyl or (C<sub>1</sub>-C<sub>3</sub>)-alkyl which is optionally substituted by hydroxyl,

and the salts, N-oxides and isomeric forms thereof.

20 3. A novel triazolotriazinone of the general formula (I) as claimed in claim 1,

in which

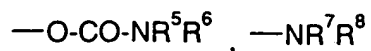
25  $R^1$  represents straight-chain or branched alkyl having up to 3 carbon atoms or represents cyclopentyl,

$R^2$  represents straight-chain or branched alkyl having up to 3 carbon atoms,

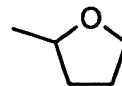
30  $R^3$  and  $R^4$  are identical or different and represent hydrogen or methoxy or represent (C<sub>1</sub>-C<sub>4</sub>)-alkyl which is optionally substituted, up to 3 times,



identically or differently, by hydroxyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy or phenoxy or by groups of the formulae



or



5

in which

R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup> and R<sup>8</sup> are identical or different and denote hydrogen, (C<sub>1</sub>-C<sub>3</sub>)-alkyl or phenyl,

10

or

R<sup>7</sup> and R<sup>8</sup>, together with the nitrogen atom to which they are bonded, form a morpholine, piperidine or pyrrolidine ring,

15

and/or (C<sub>1</sub>-C<sub>4</sub>)-alkyl is, for its part, optionally substituted by phenyl which is optionally substituted, up to 3 times, identically or differently, by hydroxyl, (C<sub>1</sub>-C<sub>3</sub>)-alkoxy or fluorine or by (C<sub>1</sub>-C<sub>3</sub>)-alkyl which is for its part in turn substituted by hydroxyl or (C<sub>1</sub>-C<sub>4</sub>)-alkoxy, or phenyl is optionally substituted by radicals of the formulae -SO<sub>2</sub>-NR<sup>9</sup>R<sup>10</sup> or -NR<sup>11</sup>R<sup>12</sup>,

20

in which

R<sup>9</sup>, R<sup>10</sup>, R<sup>11</sup> and R<sup>12</sup> are identical or different and denote hydrogen, (C<sub>1</sub>-C<sub>3</sub>)-alkyl or phenyl,

25

or

$R^{11}$  and  $R^{12}$ , together with the nitrogen atom to which they are bonded, form a morpholine, piperidine or pyrrolidine ring,

or

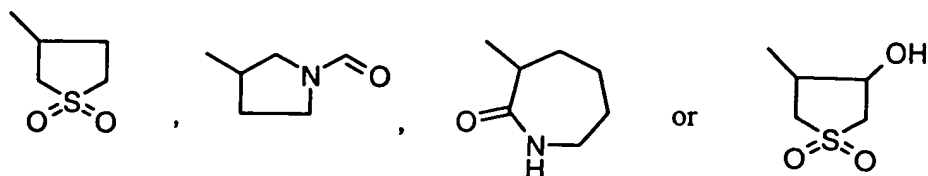
5

$R^3$  represents hydrogen or methyl,

and

10

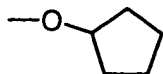
$R^4$  represents radicals of the formula



or

15

represents phenyl which is optionally substituted, up to 3 times, identically or differently, by fluorine, methoxy or hydroxyl, by a radical of the formula



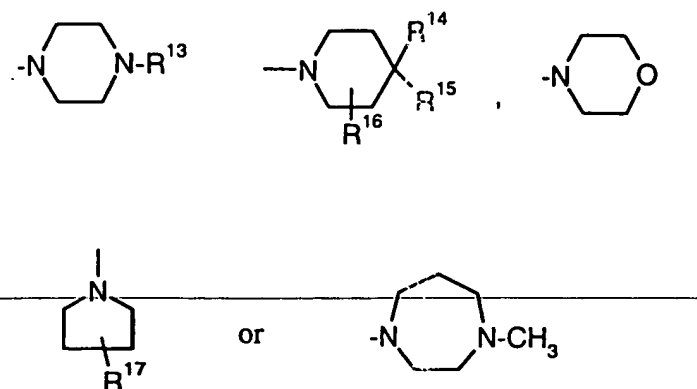
20

or by  $(C_1-C_4)$ -alkyl which, for its part, can be substituted by hydroxyl or methoxy or ethoxy,

or

25

$R^3$  and  $R^4$ , together with the nitrogen atom to which they are bonded, form a radical of the formula



in which

5  $\text{R}^{13}$  denotes hydrogen,  $(\text{C}_1\text{-C}_4)$ -alkoxycarbonyl, cyclopentyl, pyrimidyl or  $(\text{C}_1\text{-C}_3)$ -alkyl which is optionally substituted by hydroxyl,

10  $\text{R}^{14}$  and  $\text{R}^{15}$  are identical or different and denote  $(\text{C}_1\text{-C}_3)$ -alkyl which is optionally substituted by hydroxyl or by a radical of the formula  $-\text{P}(\text{O})(\text{OR}^{18})(\text{OR}^{19})$ ,

in which

15  $\text{R}^{18}$  and  $\text{R}^{19}$  denote ethyl,

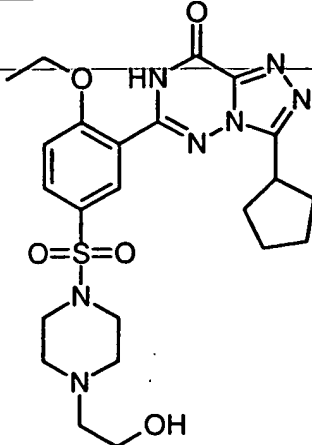
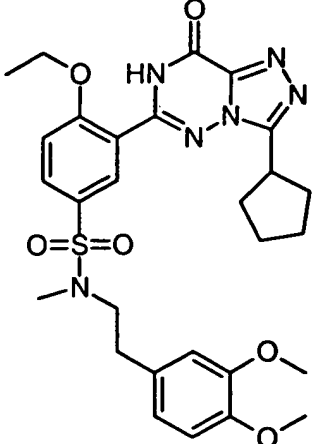
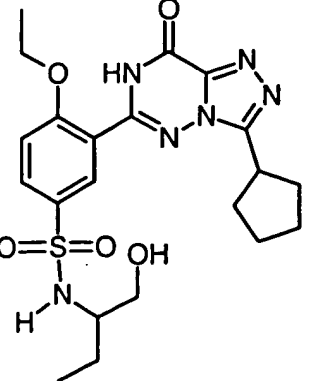
or

$\text{R}^{14}$  and  $\text{R}^{15}$  together form a radical of the formula  $=\text{N-OH}$ ,

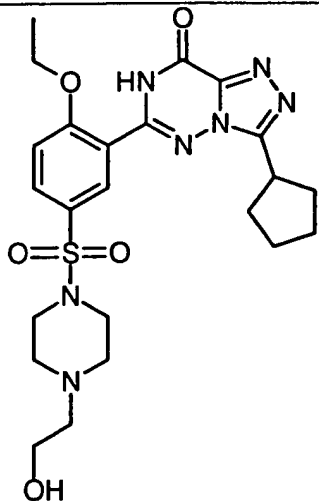
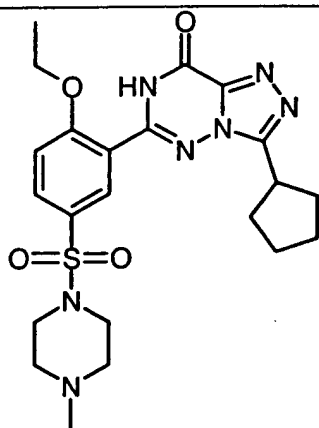
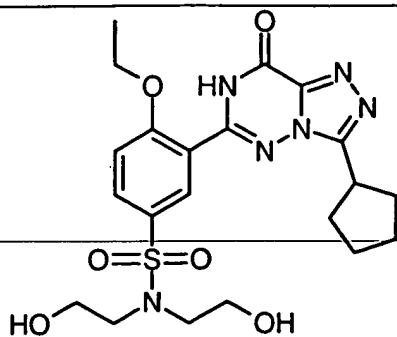
20  $\text{R}^{16}$  and  $\text{R}^{17}$  are identical or different and denote hydrogen or  $(\text{C}_1\text{-C}_3)$ -alkyl which is optionally substituted by hydroxyl,

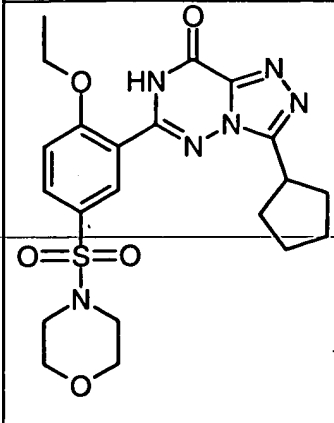
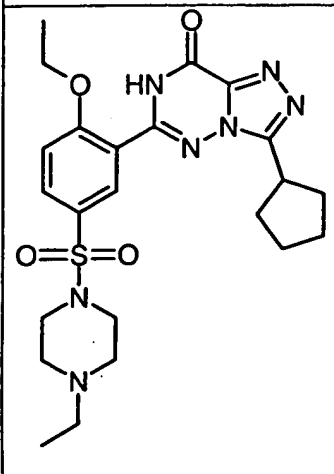
and the salts, N-oxides and isomeric forms thereof.

4. A novel triazolotriazinone of the general formula (I) as claimed in claims 1 to 3 and possessing one of the following structures:

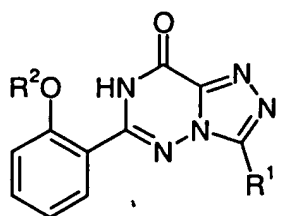
Structure
 <chem>CCOC1=CC=C(C=C1C2=NN3C(=N2)N=CN3C4CCCC4)S(=O)(=O)N5CCN(CC5)CO</chem>
 <chem>CCOC1=CC=C(C=C1C2=NN3C(=N2)N=CN3C4CCCC4)S(=O)(=O)N(C)CCc5ccc(OC)c(OC)c5</chem>
 <chem>CCOC1=CC=C(C=C1C2=NN3C(=N2)N=CN3C4CCCC4)S(=O)(=O)N(CC)CCO</chem>

Structure



Structure



5. A process for preparing triazotriazinones as claimed in claims 1 to 4, characterized in that compounds of the general formula (II)

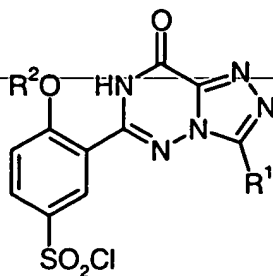


(II),

in which

R¹ and R² have the abovementioned meaning,

are reacted with chlorosulfonic acid ( $\text{ClSO}_3\text{H}$ ), where appropriate in inert solvents and where appropriate in the presence of a base, to give the compounds of the general formula (III)

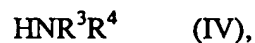


(III),

in which

$\text{R}^1$  and  $\text{R}^2$  have the abovementioned meaning,

and subsequently reacted with amines of the general formula (IV)



in which

$\text{R}^3$  and  $\text{R}^4$  have the abovementioned meaning.

6. A compound of the general formula (I) as claimed in claims 1 to 4 for the prophylaxis and/or treatment of diseases.

7. A medicament or pharmaceutical composition which comprises at least one compound of the general formula (I) as claimed in one of claims 1 to 4 and also one or more pharmacologically harmless auxiliary substances and carrier substances.

8. A medicament or pharmaceutical composition as claimed in claim 7 for the prophylaxis and/or treatment of diseases which are connected to cGMP-regulated processes (cGMP-related diseases).
- 5 9. A medicament or pharmaceutical composition as claimed in claim 7 or 8 for the prophylaxis and/or treatment of cardiovascular diseases, diseases of the urogenital system and cerebrovascular diseases.
- 10 10. A medicament or pharmaceutical composition as claimed in one of claims 7 to 9 for the prophylaxis and/or treatment of cardiovascular diseases such as high blood pressure, neuronal hypertension, stable and unstable angina, peripheral and cardiac vascular diseases, arrhythmias, thromboembolic diseases and ischemias such as myocardial infarction, stroke, transitory and ischemic attacks, angina pectoris, peripheral circulatory disturbances, prevention of 15 restenoses following thrombolysis therapy, percutaneous transluminal angioplasty (PTA), percutaneous transluminal coronary arangioplasties (PTCA) and bypass.
- 20 11. A medicament or pharmaceutical composition as claimed in one of claims 7 to 9 for the prophylaxis and/or treatment of cerebrovascular diseases such as cerebral ischemia, stroke, reperfusion damage, brain trauma, edemas, cerebral thrombosis, dementia and Alzheimer's disease.
- 25 12. A medicament or pharmaceutical composition as claimed in one of claims 7 to 9 for the prophylaxis and/or treatment of diseases of the urogenital system such as prostatate hypertrophy, incontinence and, in particular, erectile dysfunction and female sexual dysfunction.
- 30 13. A medicament or pharmaceutical composition as claimed in one of claims 7 to 12, characterized in that the medicament or the pharmaceutical composition is administered intravenously or orally.



14. The use of the compounds of the general formula (I) as claimed in one of claims 1 to 4 for producing medicaments or pharmaceutical compositions for the prophylaxis and/or treatment of diseases.

5

- ~~15. The use as claimed in claim 14 for producing a medicament or a pharmaceutical composition for the prophylaxis and/or treatment of diseases which are connected to cGMP-regulated processes (cGMP-related diseases).~~

- 10 16. The use as claimed in claim 14 or 15 for producing a medicament or a pharmaceutical composition for the prophylaxis and/or treatment of cardiovascular diseases; diseases of the urogenital system and cerebrovascular diseases.

- 15 17. The use as claimed in one of claims 14 to 16 for producing a medicament or a pharmaceutical composition for the prophylaxis and/or treatment of cardiovascular diseases such as high blood pressure, neuronal hypertension, stable and unstable angina, peripheral and cardiac vascular diseases, arrhythmias, thromboembolic diseases and ischemias such as myocardial  
20 infarction, stroke, transitory and ischemic attacks, angina pectoris, peripheral circulatory disturbances, prevention of restenoses following thrombolysis therapy, percutaneous transluminal angioplasty (PTA), percutaneous transluminal coronary angioplasties (PTCA) and bypass.

- 25 18. The use as claimed in one of claims 14 to 16 for producing a medicament or a pharmaceutical composition for the prophylaxis and/or treatment of cerebrovascular diseases such as cerebral ischemia, stroke, reperfusion damage, brain trauma, edemas, cerebral thrombosis, dementia and Alzheimer's disease.

30

19. The use as claimed in one of claims 14 to 16 for producing a medicament or a pharmaceutical composition for the prophylaxis and/or treatment of diseases of the urogenital system such as prostate hypertrophy, incontinence and, in particular, erectile dysfunction and female sexual dysfunction.

5

- 
- ~~20. The use as claimed in one of claims 14 to 19, characterized in that the~~  
medicaments or compositions are administered intravenously or orally.